# (19) World Intellectual Property Organization International Bureau



## 

(43) International Publication Date 15 July 2004 (15.07.2004)

PCT

(10) International Publication Number WO 2004/058149 A3

(51) International Patent Classification7:

C07D 271/06

(21) International Application Number:

PCT/US2003/040129

(22) International Filing Date:

16 December 2003 (16.12.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/435,381

20 December 2002 (20.12.2002) US

- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): DOHERTY, George, A. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). HALE, Jeffrey, J. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). MILLS, Sander, G. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

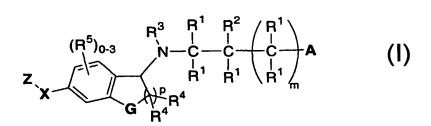
#### Published:

with international search report

(88) Date of publication of the international search report: 16 September 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1-(AMINO)INDANES AND (1,2-DIHYDRO-3-AMINO)-BENZOFURANS, BENZOTHIOPHENES AND INDOLES



(57) Abstract: The present invention encompasses compounds of Formula (I): as well as the pharmaceutically acceptable salts and hydrates thereof. The compounds are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compositions and methods of use are included.

## INTERNATIONAL SEARCH REPORT

International application No.
PCT/US03/40129

A. CLAS	SIFICATION OF SUBJECT MATTER						
IPC(7) : C07D 271/06							
US CI.	US CI. : 548/131						
According to	According to International Patent Classification (IPC) or to both national classification and IPC						
	DS SEARCHED						
) Garian de	cumentation searched (classification system followed	by classification symbols)					
		by classification symbols,					
U.S. : 54	48/131						
Dogumentatio	on searched other than minimum documentation to the	extent that such documents are included	in the fields searched				
Documentant	on sentence class that managed to the sentence of		1				
Electronia de	Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)						
CAS ONLIN		or or many ones area, where provides are					
CAS UNLIN	a.						
C. DOC	UMENTS CONSIDERED TO BE RELEVANT						
Category *	Citation of document, with indication, where ap	propriate, of the relevant passages	Relevant to claim No.				
	US 6,495,578 B1 (WANTANABE et al) 17 Decemb		24				
A	46-67, column 2, lines 1-6.	or 2002 (17.12.2002), condin 1, impo					
	40-07, Column 2, intes 1-0.	•					
-							
		İ					
		·					
i			•				
1							
•							
1							
1							
	<u> </u>						
Burther	r documents are listed in the continuation of Box C.	See patent family annex.					
		"T" later document published after the in	temetics of filing data as				
ļ • s	Special categories of cited documents:	priority date and not in conflict with					
"A" documen	t defining the general state of the art which is not considered to	understand the principle or theory un					
be of par	rticular relevance	"X" document of particular relevance; the	claimed invention cannot be				
"E" carlier a	pplication or patent published on or after the international filing	considered novel or cannot be consid					
date	bbitcerior or become because on on min me minimum.	step when the document is taken alon					
		"Y" document of particular relevance; the	claimed invention cannot be				
"L" documen	at which may throw doubts on priority claim(s) or which is cited ish the publication date of another citation or other special reason	considered to involve an inventive at					
(as speci		combined with one or more other suc					
	a wife in an amil disalactor was exhibition or other means	combination being obvious to a perso	m skilled in the art				
3	t referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent	family				
"P" documen	at published prior to the international filing date but later than the						
Date of the	date claimed actual completion of the international search	Date of mailing of the international sea	rch report				
Date of the	actual completion of the intermedent source	0 1 JUL 2004	1				
06 April 200	04 (06.04.2004)	0 T 30 L 200	·				
Name and mailing address of the ISA/US		Authorized officer					
Mail Stop PCT, Attn: ISA/US		Samuel Wright Janual How					
Commissioner for Patents		Authorized officer Sonya Wright  Authorized Officer  Sonya Wright					
P.O. Box 1450 Alexandria, Virginia 22313-1450		Telephone No. (703) 308-1235	XXX				
	o. (703)305-3230	• • · · · /	') ' '				
I THEOREM IN	U. 1. U. 10 10 U.		,				

Form PCT/ISA/210 (second sheet) (July 1998)

## INTERNATIONAL SEARCH REPORT

International application No.
PCT/US03/40129

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)			
This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:			
1. Claim Nos.: because they relate to subject matter not required to be searched by this Authority, namely:			
2. Claim Nos.: 1-23 and 25-42 because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically: Please See Continuation Sheet			
3. Claim Nos.:  because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).			
Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)			
This International Searching Authority found multiple inventions in this international application, as follows:			
<ol> <li>As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.</li> <li>As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.</li> <li>As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:</li> </ol>			
4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  Remark on Protest  The additional search fees were accompanied by the applicant's protest.  No protest accompanied the payment of additional search fees.			

Form PCT/ISA/210 (continuation of first sheet(1)) (July 1998)

#### INTERNATIONAL SEARCH REPORT

PCT/US03/40129

Continuation of Item 4 of	the first sheet	
The title is too many words.	The new title is "1-(AMINO)INDANES AND (1,2-DIHYDRO-3-AMINO)-BENZO	)FU

RANS. BENZOTHIOPHENES AND INDOLES".

### Continuation of Box I Reason 2:

In these claims, the numerous variables (e.g. m, p, G, A, R1, R2, R3, etc. . .) and their voluminous complex meanings and their many permutations and combinations, make it difficult to determine the full scope and complete meaning of the claimed subject matter. As presented, the claimed subject matter cannot be regarded as being a clear and concise description for which protection is sought and as such the listed claims do not comply with the requirements of PCT article 6. Thus a meaningful search cannot be carried out on the same. A search will be made on the first discernable invention, which is the first, thirteenth, and fifteenth compounds of claim 24.